MSW/jms 0425-0867P



REMARKS

The specification has been amended to provide a crossreference to the previously filed International Application.

Claims 1-36 are pending in the present application. Claims 4-11, 16-21, 29 and 35-36 were amended to correct improper multiple dependency.

Entry of the above amendments is earnestly solicited. An early and favorable first action on the merits is earnestly solicited.

Attached hereto is a marked-up version of the changes made to the application by this Amendment.

Should there be any outstanding matters that need to be resolved in the present application, the Examiner is respectfully requested to contact Marc S. Weiner (Reg. 32,181) at the telephone number of the undersigned below.

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or credit any overpayment to Deposit Account No. 02-2448 for any additional fees required under 37 C.F.R. § 1.16 or under 37 C.F.R. § 1.17; particularly, extension of time fees.

Respectfully submitted,

BIRCH, STEWART, KOLASCH & BIRCH, LLP

Marc S. Weiner, #32,181

P.O. Box 747

28

Falls Church, VA 22040-0747

(703) 205-8000

Attachment: VERSION WITH MARKINGS TO SHOW CHANGES MADE

(P)

VERSION WITH MARKINGS TO SHOW CHANGES MADE

Please replace the paragraph beginning on page 13, line 22, and spanning to page 23, line 5, with the following rewritten paragraph:

--The present invention relates to a useful intermediate for synthesis of the compound of the present invention, that is, 5-amino-1-methyl-2(1H)-pyridone oxalate represented by the following formula:

processes for producing the compound of the present invention and a synthetic intermediate of the compound of the present invention, that is, a process for producing an acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3) represented by the following formula:

$$R^2$$
 Q NHR³

(A3)

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined below, respectively), a salt thereof or hydrates thereof, which comprises allowing an aminopyridine compound,

aminopyrimidine compound or aminobenzene compound
represented by the following formula:

$$R^2$$
 Q NHR^3

(wherein L¹ represents a halogen atom; R² represents 1) hydrogen, 2) a halogen atom, 3) formula $-NR^6R^7$ (wherein R^6 and R^7 are the same as or different from each other and represent hydrogen, a C2-C5 acyl group, a C1-C8 alkyl group or a C3-C8 cycloalkyl group, or R^6 and R^7 represent a C2-C5 saturated cyclic amino group which is formed with a nitrogen atom to which they bind, whereupon this ring may contain an oxygen atom, a sulfur atom or a nitrogen atom other than the nitrogen atom and may be substituted with a C1-C4 alkyl group which may be substituted with a halogen atom), 4) a C2-C8 alkynyl group which may be substituted with a halogen atom, hydroxyl, a C1-C4 alkyl group or a C3-C6 cycloalkyl group, 5) a C3-C8 alkenyl group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group, 6) a C1-C8 alkyl group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group, or 7) a C1-C8 alkoxy group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group; R3 represents 1) a C3-C8 alkynyl group which may be substituted with a halogen atom, a hydroxyl group or a C1-C4 alkyl group, 2) a C3-C8 alkenyl group which may be substituted with a halogen atom, a hydroxyl group or a C1-C4 alkyl group, 3)

a C1-C8 alkyl group which may be substituted with a halogen atom, a hydroxyl group or a C1-C4 alkyl group, 4) an optionally substituted aryl group, 5) an optionally substituted heteroaryl 1,2-dihydro-2-oxopyridyl group which substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom may further be substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) optionally substituted C3-C6 cycloalkyl group, dihydroxopyrimidyl group which may be substituted with a) halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) a C3-C6 cycloalkyl group or 8) a dihydroxo or tetrahydrodioxopyrazinyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxy, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group, or b-3) a C3-C6 cycloalkyl group; and Q and W are the same as or different from each other and each represents N or CH), to react with an acyl compound represented by the formula ArCOX (wherein X represents a halogen atom; and Ar represents 1) an optionally substituted aryl

group, 2) an optionally substituted heteroaryl group, 3) an oxopyridyl group which may be substituted with a halogen atom or a C1-C6 alkyl group and whose nitrogen atom is substituted with a C1-C6 alkyl group or a C3-C6 cycloalkyl group, or 4) an oxopyrimidyl group which may be substituted with a halogen atom or a C1-C6 alkyl group and whose nitrogen atom is substituted with a C1-C6 alkyl group or a C3-C6 cycloalkyl group);

a process for producing an acylaminopyridine compound acylaminopyrimidine compound or acylaminobenzene compound (A3) represented by the following formula:

$$\mathbb{R}^2$$
 \mathbb{Q} \mathbb{N} \mathbb{N} \mathbb{Q} \mathbb{N} \mathbb{N} \mathbb{Q} \mathbb{N} \mathbb{N}

(A3)

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively), a salt thereof or hydrates thereof, which comprises allowing an aminopyridine compound, aminopyrimidine compound or aminobenzene compound (A2) represented by the following formula:

$$\begin{array}{c|c}
 & L^1 \\
 & NH_2 \\
 & R^2 & Q & NHR^3
\end{array}$$

(A2)

(wherein L^1 , R^2 , R^3 , Q and W have the same meanings as defined above, respectively) to react in the presence of pyridine with an acyl compound represented by the formula ArCOX (wherein X and Ar have the same meanings as defined above, respectively);

the above-mentioned process for producing an acylaminopyridine compound, acylaminopyrimidine compound or anylaminobenzene compound (A3), a salt thereof or hydrates thereof, wherein R³ is an N-C1-C8 alkyl-2-oxopyrimidinyl group;

a process for producing an <u>imidazopyridine compound</u>, <u>imidazopyrimidine compound or imidazobenzene compound</u> (A4), a salt thereof or hydrates thereof represented by the following formula:

$$R^2$$
 Q
 N
 R^3
 R^3
 R^3

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively), which comprises subjecting an acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3) represented by the following formula:

$$\begin{array}{c|c}
 & L^1 & H & Ar \\
 & N & O \\
 & N & N & N
\end{array}$$

$$\begin{array}{c|c}
 & R^2 & Q & NHR^3
\end{array}$$

(A3)

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively) to ring-closure reaction in the presence of POCl₃;

a process for producing an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4), a salt thereof or hydrates thereof represented by the following formula:

$$\mathbb{R}^2$$
 \mathbb{Q}
 \mathbb{R}^3
 \mathbb{R}^3
 \mathbb{R}^3

(wherein L¹, R², R³, Ar, Q and W have the same meanings as defined above, respectively), which comprises subjecting an acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3) represented by the following formula:

$$\mathbb{R}^2 \xrightarrow{\mathbb{Q}} \mathbb{Q} \xrightarrow{\mathbb{N} + \mathbb{R}^3} \mathbb{Q}$$

(A3)

(wherein L¹, R², R³, Ar, Q and W have the same meanings as defined above, respectively) to ring-closure reaction in the presence of hydrochloric acid or using hydrochloride of an acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3);

a process for producing an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4), a salt thereof or hydrates thereof represented by the following formula:

$$\mathbb{R}^2$$
 \mathbb{R}^3
 \mathbb{R}^3
 \mathbb{R}^3

(wherein L¹, R², R³, Ar, Q and W have the same meanings as defined above, respectively), which comprises subjecting an acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3) represented by the following formula:

$$\mathbb{R}^2$$
 \mathbb{Q} \mathbb{N} \mathbb{R}^3

(A3)

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively) to ring-closure reaction in NMP (1-methyl-2-pyrrolidone) under heating;

the above-mentioned process for producing an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4), a salt thereof or hydrates thereof, wherein R³ is an N-C1-C8 alkyl-2-oxopyridinyl group;

a process for producing an imidazopyridine compound,

<u>imidazopyrimidine compound or imidazobenzene compound</u> (A4), a salt thereof or hydrates thereof represented by the following formula:

$$\mathbb{R}^2$$
 \mathbb{Q}
 \mathbb{N}
 \mathbb{R}^3
 \mathbb{R}^3

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively), which comprises allowing an aminopyridine compound, aminopyrimidine compound or aminobenzene compound (A2) represented by the following formula:

$$R^2$$
 Q NHR^3

(A2)

(wherein L^1 , R^2 , R^3 , Q and W have the same meanings as defined above, respectively) to react with an acyl compound represented by the formula ArCOX (wherein X and Ar have the same meanings as defined above, respectively); and then subjecting the product to ring-closure reaction;

the above-mentioned process for producing an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4), a salt thereof or hydrates thereof, wherein the aminopyridine compound, aminopyrimidine compound or aminobenzene compound (A2) is converted in one-pot reaction into the

imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4);

a process for producing an aminoimidazopyridine compound, aminomidazopyrimidine compound or aminoimidazobenzene compound (A5), a salt thereof or hydrates thereof represented by the formula:

$$\begin{array}{c|c}
 & NH_2 \\
 & N \\
 &$$

(wherein L¹, R², R³, Ar, Q and W have the same meanings as defined above, respectively), which comprises aminating an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4) represented by the following formula:

$$R^2$$
 Q
 N
 R^3
 R^3

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively);

the above-mentioned process for producing an aminoimidazopyridine compound, aminoimidazopyrimidine compound or aminoimidazobenzene compound (A5), a salt thereof or hydrates thereof, wherein R³ is an N-C1-C8 alkyl-2-oxopyridinyl group; and a process for producing an imidazopyridine compound,

imidazopyrimidine compound or imidazobenzene compound (C3), a
salt thereof or hydrates thereof represented by the formula:

$$R^{1}$$
 R^{2}
 Q
 N
 A
 A
 C
 C
 C
 C

(wherein R^{13} means a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group, or an optionally substituted C3-C6 cycloalkyl group; and R^1 , the formula:

R², Ar, Q and W have the same meanings as defined above, respectively), which comprises alkylating an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (C2) represented by the following formula:

(wherein R^1 represents 1) hydrogen, 2) hydroxyl, 3) a halogen atom, 4) an optionally substituted C1-C8 alkyl group or 5) formula $-NR^4R^5$ (wherein R^4 and R^5 are the same as or different from each other and each represents hydrogen, a C1-C8 alkyl group or a C3-C8 cycloalkyl group, or a C2-C5 saturated cyclic amino group which is formed with a nitrogen atom to which they bind, whereupon this ring may contain oxygen, sulfur or nitrogen other than the nitrogen atom and may be substituted with a C1-C4 alkyl group which may be substituted with a halogen atom; the formula:

represents dihydrooxopyridinyl or -pyrimidyl, or dihydro- or tetrahydropyrazinyl; and R^2 , Ar, Q and W have the same meanings as defined above, respectively.

IN THE CLAIMS:

The claims have been amended as follows:

4. (Amended) The condensed imidazole compound according to [any of claims 1 to 3] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R³ represents 1) an substituted pyridyl group, optionally 2) an optionally substituted pyrimidyl group, 3) a 1,2-dihydro-2-oxopyridyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group; b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group; or b-3) an optionally substituted C3-C6 cycloalkyl group, or 4) a dihydroxopyrimidyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally

protected carboxyl group; b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group; or b-3) a C3-C6 cycloalkyl group.

5. (Amended) The condensed imidazole compound according to [any of claims 1 to 4] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein Ar is an optionally substituted aryl.

- 6. (Amended) The condensed imidazole compound according to [any of claims 1 to 5] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein Ar is a phenyl substituted with a halogen atom.
- 7. (Amended) The condensed imidazole compound according to [any of claims 1 to 6] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R^1 is represented by the formula $-NR^4R^5$ (wherein R^4 and R^5 are the same as or different from each other and each represents hydrogen, a C1-C8 alkyl group or a C3-C8 cycloalkyl group, or a C2-C5 saturated cyclic amino group which is formed with a nitrogen atom to which they bind, whereupon this ring may contain oxygen, sulfur or nitrogen other than the nitrogen and may be substituted with a C1-C4 alkyl group which may be substituted with a halogen atom.
- 8. (Amended) The condensed imidazole compound according to [any of claims 1 to 7] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein \mathbb{R}^1 is amino.
- 9. (Amended) The condensed imidazole compound according to [any of claims 1 to 8] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R^1 is amino; R^2 is hydrogen; and R^3 is 1) a pyridyl group which may be substituted with hydroxyl or a C1-C6 alkyl group or 2) a 1,2-dihydro-2-oxopyridyl group which may be substituted with a) a halogen atom

or a C1-C6 alkyl group, and whose nitrogen atom may further be substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group; b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group; or b-3) an optionally substituted C3-C6 cycloalkyl group.

- 10. (Amended) The condensed imidazole compound according to [any of claims 1 to 9] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R^1 is amino, R^2 is hydrogen, and R^3 is a 1,2-dihydro-2-oxopyridyl group whose nitrogen may be substituted with a C1 to C6 alkyl group which may be substituted with a halogen atom.
- 11. (Amended) The condensed imidazole compound according to [any of claims 1 to 8] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, wherein R^1 is amino, R^2 is a C2 alkynyl group which is substituted with hydroxyll group and a C4-C6 cycloalkyl group, R^3 is a C3 alkenyl group, and Ar is a phenyl substituted with a halogen atom.
- 16. (Amended) An agent for preventing or treating diabetes mellitus, which comprises the condensed imidazole compound according to [any of claims 1 to 15] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof as the active ingredient.

- 17. (Amended) An agent for preventing or treating diabetic complications, which comprises the condensed imidazole compound according to [any of claims 1 to 15] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof as the active ingredient.
- 18. (Amended) An agent for preventing or treating diseases against which the condensed imidazole compound according to [any of claims 1 to 15] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof is effective.
- 19. (Amended) An agent for preventing or treating diabetic retinopathy, which comprises the condensed imidazole compound according to [any of claims 1 to 15] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof as the active ingredient.
- 20. (Amended) An adenosine A2 receptor antagonist comprising the condensed imidazole compound according to [any of claims 1 to 15] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof.
- 21. (Amended) A pharmaceutical composition comprising the condensed imidazole compound according to [any of claims 1 to 15] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof and a pharmacologically acceptable carrier.

23. (Amended) A process for producing an acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3) represented by the following formula:

$$\begin{array}{c|c}
 & H & Ar \\
 & V & O \\
 & R^2 & Q & NHR^3
\end{array}$$

(A3)

(wherein L¹, R², R³, Ar, Q and W have the same meanings as defined below, respectively), a salt thereof or hydrates thereof, which comprises allowing an aminopyridine compound, aminopyrimidine compound or aminobenzene compound (A2) represented by the following formula:

$$\begin{array}{c|c}
 & L^1 \\
 & NH_2 \\
 & R^2 & NHR^3
\end{array}$$

(A2)

(wherein L^1 represents a halogen atom; R^2 represents 1) hydrogen, 2) a halogen atom, 3) formula $-NR^6R^7$ (wherein R^6 and R^7 are the same as or different from each other and represent hydrogen, a C2-C5 acyl group, a C1-C8 alkyl group or a C3-C8 cycloalkyl group, or R^6 and R^7 represent a C2-C5 saturated cyclic amino group which is formed with a nitrogen atom to which they bind, whereupon this ring may contain an oxygen atom, a sulfur atom or a nitrogen atom other than the nitrogen atom and may be substituted with a C1-C4 alkyl group which may be substituted

with a halogen atom), 4) a C2-C8 alkynyl group which may be substituted with a halogen atom, hydroxyl, a C1-C4 alkyl group or a C3-C6 cycloalkyl group, 5) a C3-C8 alkenyl group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group, 6) a C1-C8 alkyl group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group, or 7) a C1-C8 alkoxy group which may be substituted with a halogen atom, hydroxyl or a C1-C4 alkyl group; R^3 represents 1) a C3-C8 alkynyl group which may be substituted with a halogen atom, a hydroxyl group or a C1-C4 alkyl group, 2) a C3-C8 alkenyl group which may be substituted with a halogen atom, a hydroxyl group or a C1-C4 alkyl group, 3) a C1-C8 alkyl group which may be substituted with a halogen atom, a hydroxyl group or a C1-C4 alkyl group, 4) an optionally substituted aryl group, 5) an optionally substituted heteroaryl 1,2-dihydro-2-oxopyridyl group which group, 6) а substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom may further be substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group or b-3) an optionally substituted C3-C6 cycloalkyl group, dihydroxopyrimidyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group, and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, b-2) an optionally substituted C3-C6

cycloalkyl-C1-C4 alkyl group or b-3) a C3-C6 cycloalkyl group or 8) a dihydroxo or tetrahydrodioxopyrazinyl group which may be substituted with a) a halogen atom or a C1-C6 alkyl group and whose nitrogen atom is further substituted with b-1) a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxy, b-2) an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group, or b-3) a C3-C6 cycloalkyl group; and Q and W are the same as or different from each other and each represents N or CH), to react with an acyl compound represented by the formula ArCOX (wherein X represents a halogen atom; and Ar represents 1) an optionally substituted aryl group, 2) an optionally substituted heteroaryl group, 3) an oxopyridyl group which may be substituted with a halogen atom or a C1-C6 alkyl group and whose nitrogen atom is substituted with a C1-C6 alkyl group or a C3-C6 cycloalkyl group, or 4) oxopyrimidyl group which may be substituted with a halogen atom or a C1-C6 alkyl group and whose nitrogen atom is substituted. with a C1-C6 alkyl group or a C3-C6 cycloalkyl group).

24. (Amended) A process for producing an acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3) represented by the following formula:

(A3)

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively), a salt thereof or hydrates thereof, which comprises allowing an aminopyridine compound, aminopyrimidine compound or aminobenzene compound (A2) represented by the following formula:

$$R^2$$
 Q NHR^3

(A2)

(wherein L^1 , R^2 , R^3 , Q and W have the same meanings as defined above, respectively) to react in the presence of pyridine with an acyl compound represented by the formula ArCOX (wherein X and Ar have the same meanings as defined above, respectively).

- 25. (Amended) The process for producing an acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3), a salt thereof or hydrates thereof according to claim 23 or 24, wherein R³ is an N-C1-C8 alkyl-2-oxopyrimidinyl group.
- 26. (Amended) A process for producing an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4), a salt thereof or hydrates thereof represented by the following formula:

$$\mathbb{R}^2$$
 \mathbb{Q}
 \mathbb{N}
 \mathbb{R}^3
 \mathbb{R}^3

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively), which comprises subjecting an acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3) represented by the following formula:

$$\begin{array}{c|c}
 & H & Ar \\
 & N & O \\
 & NHR^3
\end{array}$$

(A3)

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively) to ring-closure reaction in the presence of POCl₃.

27. (Amended) A process for producing an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4), a salt thereof or hydrates thereof represented by the following formula:

$$R^2$$
 Q
 N
 R^3

(A4)

(wherein L¹, R², R³, Ar, Q and W have the same meanings as defined above, respectively), which comprises subjecting an acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3) represented by the following formula:

$$\begin{array}{c|c}
 & H & Ar \\
 & V & O \\
 & R^2 & Q & NHR^3
\end{array}$$

(A3)

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively) to ring-closure reaction in the presence of hydrochloric acid or using hydrochloride of an acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3).

28. (Amended) A process for producing an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4), a salt thereof or hydrates thereof represented by the following formula:

$$\mathbb{R}^2$$
 \mathbb{Q} \mathbb{N} \mathbb{R}^3

(A4)

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively), which comprises subjecting an

acylaminopyridine compound, acylaminopyrimidine compound or acylaminobenzene compound (A3) represented by the following formula:

(A3)

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively) to ring-closure reaction in NMP (1-methyl-2-pyrrolidone) under heating.

- 29. (Amended) The process for producing an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4), a salt thereof or hydrates thereof according to [claims 24 to 28] claims 24 and 26-28, wherein R³ is an N-C1-C8 alkyl-2-oxopyridinyl group.
- 30. (Amended) A process for producing an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4), a salt thereof or hydrates thereof represented by the following formula:

$$\mathbb{R}^2$$
 \mathbb{Q} \mathbb{N} \mathbb{R}^3

(A4)



(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively), which comprises allowing an aminopyridine compound, aminopyrimidine compound or aminobenzene compound (A2) represented by the following formula:

$$R^2$$
 Q NHR^3

(A2)

(wherein L^1 , R^2 , R^3 , Q and W have the same meanings as defined above, respectively) to react with an acyl compound represented by the formula ArCOX (wherein X and Ar have the same meanings as defined above, respectively); and then subjecting the product to ring-closure reaction.

- 31. (Amended) The process for producing an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4), a salt thereof or hydrates thereof according to claim 30, wherein the aminopyridine compound, aminopyrimidine compound or aminobenzene compound (A2) is converted in one-pot reaction into the imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4).
- 32. (Amended) · A process for producing an aminoimidazopyridine compound, aminoimidazopyrimidine compound or aminoimidazobenzene compound (A5), a salt thereof or hydrates thereof represented by the formula:

(wherein L¹, R², R³, Ar, Q and W have the same meanings as defined above, respectively), which comprises aminating an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (A4) represented by the following formula:

$$\mathbb{R}^2$$
 \mathbb{R}^3 \mathbb{R}^3

(A4)

(wherein L^1 , R^2 , R^3 , Ar, Q and W have the same meanings as defined above, respectively).

- 33. The process for producing an aminoimidazopyridine compound, aminoimidazopyrimidine compound or aminoimidazobenzene compound (A5), a salt thereof or hydrates thereof according to claim 32, wherein R³ is an N-C1-C8 alkyl-2-oxopyridinyl group.
- 34. (Amended) A process for producing an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (C3), a salt thereof or hydrates thereof represented by the formula:

$$R^{1}$$
 R^{1}
 R^{1

(wherein R^{13} means a C1-C6 alkyl group which may be substituted with a halogen atom, hydroxyl or an optionally protected carboxyl group, an optionally substituted C3-C6 cycloalkyl-C1-C4 alkyl group, or an optionally substituted C3-C6 cycloalkyl group; and R^1 , the formula:

R², Ar, Q and W have the same meanings as defined above, respectively), which comprises alkylating an imidazopyridine compound, imidazopyrimidine compound or imidazobenzene compound (C2) represented by the following formula:

$$R^{2}$$
 Q
 N
 A
 A
 C
 C
 C



Docket No. 0425-0867P

(wherein R¹ represents 1) hydrogen, 2) hydroxyl, 3) a halogen atom, 4) an optionally substituted C1-C8 alkyl group or 5) formula -NR⁴R⁵ (wherein R⁴ and R⁵ are the same as or different from each other and each represents hydrogen, a C1-C8 alkyl group or a C3-C8 cycloalkyl group, or a C2-C5 saturated cyclic amino group which is formed with a nitrogen atom to which they bind, whereupon this ring may contain oxygen, sulfur or nitrogen other than the nitrogen atom and may be substituted with a C1-C4 alkyl group which may be substituted with a halogen atom; the formula:

represents dihydrooxopyridinyl or -pyrimidyl, or dihydro- or tetrahydropyrazinyl; and R^2 , Ar, Q and W have the same meanings as defined above, respectively.

35. (Amended) A method of preventing or treating diabetes mellitus; diabetic complications; diabetic retinopathy; diseases against which the condensed imidazole compound according to [any of claims 1 to 15] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof is effective; or diseases against which an adenosine A2 receptor antagonism is effective, by administering a pharmacologically effective amount of the condensed imidazole compound according to [any of claims 1 to 15] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof.



Docket No. 0425-0867P

36. (Amended) Use of the condensed imidazole compound according to [any of claims 1 to 15] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof, for producing a preventive or therapeutic agent for diabetes mellitus; diabetic complications; diabetic retinopathy; or diseases against which the condensed imidazole compound according to [any of claims 1 to 15] claim 1, a pharmacologically acceptable salt thereof or hydrates thereof is effective, or an adenosine A2 receptor antagonist.